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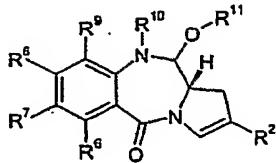
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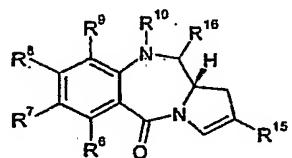
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(54) Title: 11-HYDROXY-5H-PYRROLO[2,1-C][1,4]BENZODIAZEPIN-5-ONE DERIVATIVES AS KEY INTERMEDIATES FOR THE PREPARATION OF C2 SUBSTITUTED PYRROLOBENZODIAZEPINES



(I)



(III)

(57) Abstract: The present inventors have developed a key intermediate for the production of C2 substituted PBDs, which has a leaving group at the C2 position, a carbamate protecting group at the N10 position and a protected hydroxy group at the C11 position. In a first aspect, the present invention comprises a compound with the formula (I), wherein: R¹⁰ is a carbamate-based nitrogen protecting group; R¹¹ is an oxygen protecting group; and R² is a labile leaving group. In a further aspect, the present invention comprises a method of synthesising a compound of formula (III), or a solvate thereof, from a compound of formula (I) as defined in the first aspect, R¹⁶ is either O-R¹¹, wherein R¹¹ is as defined in the first aspect, or OH, or R¹⁰ and R¹⁶

together form a double bond between N10 and C11; and R¹⁵ is R. The other substituents are defined in the claims. Further aspects of the present invention relate to compounds of formula (III) (including solvates thereof when R¹⁰ and R¹⁶ form a double bond between N10 and C11, and pharmaceutical salts thereof), pharmaceutical compositions comprising these, and their use in the manufacture of a medicament for the treatment of a proliferative disease.

WO 2005/085251 A1